

NE
R₉ is alkoxy, aryloxy, alkenyl, alkynyl, heterocyclyl alkynyl, aryl alkynyl, heteroaryl alkynyl, alkynyloxy or aryl alkynyloxy, which in each case is unsubstituted or substituted, the substituents being selected from the group consisting of halogen, hydroxy, phenyl, lower alkyl, lower alkoxy, lower alkoxycarbonyl, amino, lower alkylamino, di-lower alkylamino, carbamoyl, lower alkylcarbamoyl, carboxyl, methylenedioxy, tri-lower alkyl silyl, cyano or lower alkyl which is substituted by halogen, wherein such an unsubstituted or substituted R₉ radical has not more than 20 C atoms;

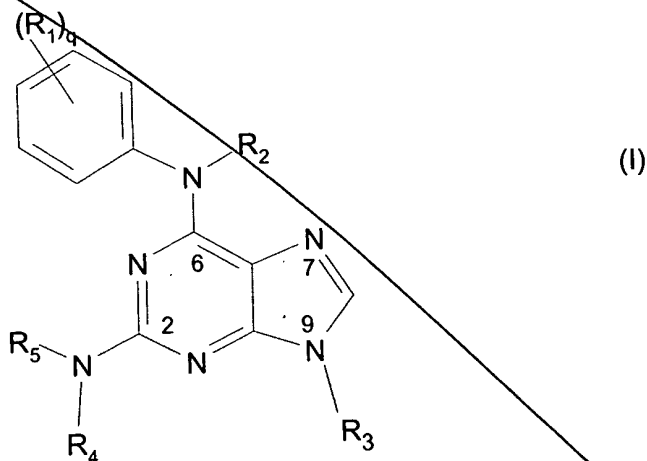
and the other variable substituents are as defined herein. The inventive compounds inhibit p34^{cdc2}/cyclin B^{cdc13} kinase and protein tyrosine kinase pp60^{c-src} and can be used for treatment of hyperproliferative diseases, for example tumour diseases, and diseases which respond to inhibition of the activity of protein tyrosine kinase pp60^{c-src}, in particular osteoporosis.

IN THE CLAIMS

Cancel claims 12, 15 and 16.

Amend claims 1, 8, 13, 14, 17, 18, 19, 20 and 21 to read as follows. A marked-up version of the claims is attached as an Appendix to this paper.

1. (once amended) A compound of the formula I



wherein

q is 1-5,

R₁ is

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Sub
α) $-S(=O)_k-NR_6R_7$, in which

k is 1 or 2,

wherein under the proviso that R_6 and R_7 cannot be simultaneously hydrogen

α1) R_6 , R_7 can be identical or different from one another and represent an aliphatic, carbocyclic, heterocyclic, carbocyclic-aliphatic or heterocyclic-aliphatic radical; hydrogen or lower aliphatic acyl; or

α2) R_6 and R_7 together are an alkylene or alkenylene radical having from 3 up to and including 9 C atoms, in which 1-3 C atoms can be replaced by oxygen, sulfur or nitrogen, wherein said alkylene or alkenylene radical can be unsubstituted or substituted by halogen, hydroxy, lower alkoxy, amino, lower alkylamino, di-lower alkylamino, carbamoyl, lower alkylcarbamoyl or a radical $-(CH_2)_y-R_{10}$, in which y is 0 to 3, preferably 0 to 2, and R_{10} is hydrogen or phenyl, which is unsubstituted or substituted by halogen, halogen lower alkyl, lower alkoxy, hydroxy, lower alkoxycarbonyl, amino, lower alkylamino, di-lower alkylamino, carbamoyl, lower alkylcarbamoyl, carboxyl, methylenedioxy or cyano, or

β) N-(aryl lower alkyl)carbamoyl, or

γ) a radical of the formula $-NH-S(=O)_i-R_8$, in which

i is 1 or 2,

R_8 is an aliphatic, carbocyclic or heterocyclic radical; or

δ) a radical of the formula $-NH-C(=O)-R_9$, in which

R_9 is alkoxy, aryloxy, alkenyl, alkynyl, heterocyclyl alkynyl, aryl alkynyl, heteroaryl alkynyl, alkynyloxy or aryl alkynyloxy, which in each case is unsubstituted or substituted, the substituents being selected from the group consisting of halogen, hydroxy, phenyl, lower alkyl, lower alkoxy, lower alkoxycarbonyl, amino, lower alkylamino, di-lower alkylamino, carbamoyl, lower alkylcarbamoyl, carboxyl, methylenedioxy, tri-lower alkyl silyl, cyano or lower alkyl which is substituted by halogen, wherein such an unsubstituted or substituted R_9 radical has not more than 20 C atoms;

where, if more than one radical R_1 is present in the molecule, these can be identical or different from one another,

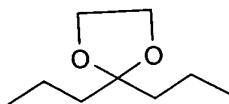
R_2 is hydrogen, carbamoyl or N-lower alkyl-carbamoyl,

R_3 is lower alkyl, which is unsubstituted or substituted by hydroxy, lower alkoxy, amino, lower alkylamino or N,N-di-lower alkylamino and

a) R_4 is hydrogen, amino, phenylamino, lower alkylamino, hydroxyl, phenoxy, lower alkoxy, acyl having 1-30 C atoms, a carbocyclic or carbocyclic-aliphatic radical having not more than 29 C

atoms, a heterocyclic or heterocyclic-aliphatic radical having not more than 20 C atoms and not more than 9 heteroatoms, or a substituted hydrocarbon radical having not more than 29 C atoms wherein the substituents are selected from halogen, amino, lower alkylamino, ω -amino, lower alkylamino, lower alkanoylamino, benzoylamino, hydroxylamino, hydroxylimino, lower alkoxy-amino, phenyloxyamino, amino-cyclohexyl-amino-, amino-phenyl-amino-, carbamoyl-amino, (N-lower alkyl-carbamoyl)-amino, (N-[ω -amino-lower alkyl]-carbamoyl)-amino, (N-phenyl-carbamoyl)-amino, lower alkylthio, thiocarbamoyl, thioureido, N-lower alkyl-thioureido, N-phenyl-thioureido, guanidino, N-lower alkyl-guanidino, carboxyl, lower alkoxycarbonyl, phenyloxycarbonyl, benzyloxycarbonyl, hydroxylaminocarbonyl, carbamoyl, amidino, cyano, hydroxyl, lower alkoxy, phenyloxy, aminocarbonyl-oxy, oxo, aminosulfonyl, lower alkylsulfonyl-amino, glycyllamino, alanyllamino, phenylalanyllamino, prolyllamino, valylamino, leucylamino, isoleucylamino, serylamino, threonyllamino, cysteinyllamino, methionylamino, tyrosylamino, tryptophanyllamino, arginyllamino, histidylamino, lysylamino, glutamylamino, glutaminyllamino, asparagylamino, asparaginyllamino and phenylglycyllamino; and

R_5 , independently of R_4 , is as defined above for R_4 , with the exception of hydrogen, or
 b) R_4 and R_5 together are 1,2-ethylene, propane-1,3-diyl, butane-1,4-diyl, pentane-1,5-diyl, 3-(3-amino-propionyl)-3-aza-pentane-1,5-diyl, 2-amino-butane-1,4-diyl, 1-aminomethyl-butane-1,4-diyl, 1-hydroxymethyl-butane-1,4-diyl, 3-hydroxy-pentane-1,5-diyl, 1-hydroxy-hexane-1,5-diyl, 3-(2-amino-ethyl)-pentane-1,5-diyl, 3-aza-pentane-1,5-diyl ($-\text{CH}_2\text{-CH}_2\text{-NH-CH}_2\text{-CH}_2-$), 3-aza-2,4-dimethyl-pentane-1,5-diyl ($-\text{CH}_2\text{-CH}[\text{CH}_3]\text{-NH-CH}[\text{CH}_3]\text{-CH}_2-$), 3-amino-3-aza-pentane-1,5-diyl ($-\text{CH}_2\text{-CH}_2\text{-N}[\text{NH}_2]\text{-CH}_2\text{-CH}_2-$), 1-aza-pentane-1,5-diyl, 1-aza-1-toluyllaminocarbonyl-pentane-1,5-diyl, 1-aza-1-(methylamino-thiocarbonyl)-pentane-1,5-diyl, 1-aza-1-(tert-butylamino-carbonyl)-pentane-1,5-diyl, 1-aza-1-(cyclohexylamino-carbonyl)-pentane-1,5-diyl, 3-aza-1-hydroxy-heptane-3,7-diyl, 3-aza-1-cyano-heptane-3,7-diyl, 1-amino-3-aza-heptane-3,7-diyl, 3-(2-amino-ethyl)-3-aza-pentane-1,5-diyl ($-\text{CH}_2\text{-CH}_2\text{-N}[\text{-CH}_2\text{-CH}_2\text{-NH}_2]\text{-CH}_2\text{-CH}_2-$), 1-carbamoyl-butane-1,4-diyl, 2-formylamino-pentane-1,4-diyl, 2-aza-butadiene-1,4-diyl ($-\text{CH}=\text{CH-N}=\text{CH}-$), 2-aza-3-hydroxymethyl-butadiene-1,4-diyl ($-\text{CH}=\text{C}[\text{CH}_2\text{OH}]\text{-N}=\text{CH}-$), 2-Aza-1-hydroxy-1-(4-methoxy-phenyl-amino)-heptane-2,7-diyl $\{-(\text{CH}_2)_4\text{-N}[\text{-CH}(\text{OH})\text{-NH-C}_6\text{H}_4\text{-OCH}_3]\text{-}$ or a radical of the formula



in which the two terminal bonds of the alkylene chain are free valencies, or a salt thereof, with the exception of 6-(4-benzyloxycarbonylamino-phenyl-amino)-9-ethyl-2-(2-hydroxy-ethyl-amino)-9H-purine or a salt thereof.

2. (once amended) A compound of the formula I according to claim 1, wherein q is 1-5,

R₁ is

α) -S(=O)_k-NR₆R₇, in which

k is 1 or 2,

wherein under the proviso that R₆ and R₇ cannot be simultaneously hydrogen

α 1) R₆, R₇ can be identical or different from one another and represent an aliphatic, carbocyclic, heterocyclic, carbocyclic-aliphatic or heterocyclic-aliphatic radical; hydrogen or lower aliphatic acyl; or

α 2) R₆ and R₇ together are an alkylene or alkenylene radical having from 3 up to and including 9 C atoms, in which 1-3 C atoms can be replaced by oxygen, sulfur or nitrogen, wherein said alkylene or alkenylene radical can be unsubstituted or substituted by halogen, hydroxy, lower alkoxy, amino, lower alkylamino, di-lower alkylamino, carbamoyl, lower alkylcarbamoyl or a radical -(CH₂)_y-R₁₀, in which y is 0 to 3, preferably 0 to 2, and R₁₀ is hydrogen or phenyl, which is unsubstituted or substituted by halogen, halogen lower alkyl, lower alkoxy, hydroxy, lower alkoxy-carbonyl, amino, lower alkylamino, di-lower alkylamino, carbamoyl, lower alkylcarbamoyl, carboxyl, methylenedioxy or cyano, or

β) N-(aryl lower alkyl)carbamoyl, or

γ) a radical of the formula -NH-S(=O)_i-R₈, in which i is 1 or 2,

R₈ is an aliphatic, carbocyclic or heterocyclic radical; or

δ) a radical of the formula -NH-C(=O)-R₉, in which

R₉ is alkoxy, aryloxy, alkenyl, alkynyl, aryl alkynyl, alkynyloxy or aryl alkynyloxy, which in each case is unsubstituted or substituted, the substituents being selected from the group consisting of halogen, hydroxy, phenyl, lower alkyl, lower alkoxy, lower alkoxy-carbonyl, amino, lower alkylamino, di-lower alkylamino, carbamoyl, lower alkylcarbamoyl, carboxyl, methylenedioxy, tri-lower alkyl silyl, cyano or lower alkyl which is substituted by halogen, wherein such an unsubstituted or substituted R₉ radical has not more than 20 C atoms;

where, if more than one radical R₁ is present in the molecule, these can be identical or different from one another,

R₂ is hydrogen, carbamoyl or N-lower alkyl-carbamoyl,

Sub 12

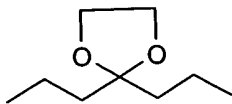
B

R₃ is lower alkyl, which is unsubstituted or substituted by hydroxy, lower alkoxy, amino, lower alkylamino or N,N-di-lower alkylamino and

a) R₄ is hydrogen, amino, phenylamino, lower alkylamino, hydroxyl, phenoxy, lower alkoxy, acyl having 1-30 C atoms, a carbocyclic or carbocyclic-aliphatic radical having not more than 29 C atoms, a heterocyclic or heterocyclic-aliphatic radical having not more than 20 C atoms and not more than 9 heteroatoms, or a substituted hydrocarbon radical having not more than 29 C atoms wherein the substituents are selected from halogen, amino, lower alkylamino, ω-amino-lower alkylamino, lower alkanoylamino, benzoylamino, hydroxylamino, hydroxylimino, lower alkoxy-amino, phenyloxyamino, amino-cyclohexyl-amino-, amino-phenyl-amino-, carbamoyl-amino, (N-lower alkyl-carbamoyl)-amino, (N-[ω-amino-lower alkyl]-carbamoyl)-amino, (N-phenyl-carbamoyl)-amino, lower alkylthio, thiocarbamoyl, thioureido, N-lower alkyl-thioureido, N-phenyl-thioureido, guanidino, N-lower alkyl-guanidino, carboxyl, lower alkoxy-carbonyl, phenyloxy-carbonyl, benzyloxy-carbonyl, hydroxylaminocarbonyl, carbamoyl, amidino, cyano, hydroxyl, lower alkoxy, phenyloxy, aminocarbonyl-oxy, oxo, aminosulfonyl, lower alkylsulfonyl-amino, glycylamino, alanyl-amino, phenylalanyl-amino, prolyl-amino, valyl-amino, leucyl-amino, isoleucyl-amino, seryl-amino, threonyl-amino, cysteinyl-amino, methionyl-amino, tyrosyl-amino, tryptophanyl-amino, arginyl-amino, histidyl-amino, lysyl-amino, glutamyl-amino, glutaminyl-amino, asparagyl-amino, asparaginyl-amino and phenylglycyl-amino; and

R₅, independently of R₄, is as defined above for R₄, with the exception of hydrogen, or

b) R₄ and R₅ together are 1,2-ethylene, propane-1,3-diyl, butane-1,4-diyl, pentane-1,5-diyl, 3-(3-amino-propionyl)-3-aza-pentane-1,5-diyl, 2-amino-butane-1,4-diyl, 1-aminomethyl-butane-1,4-diyl, 1-hydroxymethyl-butane-1,4-diyl, 3-hydroxy-pentane-1,5-diyl, 1-hydroxy-hexane-1,5-diyl, 3-(2-amino-ethyl)-pentane-1,5-diyl, 3-aza-pentane-1,5-diyl (-CH₂-CH₂-NH-CH₂-CH₂-), 3-aza-2,4-dimethyl-pentane-1,5-diyl (-CH₂-CH[CH₃]-NH-CH[CH₃]-CH₂-), 3-amino-3-aza-pentane-1,5-diyl (-CH₂-CH₂-N[NH₂]-CH₂-CH₂-), 1-aza-pentane-1,5-diyl, 1-aza-1-toluyaminocarbonyl-pentane-1,5-diyl, 1-aza-1-(methylamino-thiocarbonyl)-pentane-1,5-diyl, 1-aza-1-(tert-butylamino-carbonyl)-pentane-1,5-diyl, 1-aza-1-(cyclohexylamino-carbonyl)-pentane-1,5-diyl, 3-aza-1-hydroxy-heptane-3,7-diyl, 3-aza-1-cyano-heptane-3,7-diyl, 1-amino-3-aza-heptane-3,7-diyl, 3-(2-amino-ethyl)-3-aza-pentane-1,5-diyl (-CH₂-CH₂-N[-CH₂-CH₂-NH₂]-CH₂-CH₂-), 1-carbamoyl-butane-1,4-diyl, 2-formylamino-pentane-1,4-diyl, 2-aza-butadiene-1,4-diyl (-CH=CH-N=CH-), 2-aza-3-hydroxymethyl-butadiene-1,4-diyl (-CH=C[CH₂OH]-N=CH-), 2-Aza-1-hydroxy-1-(4-methoxy-phenyl-amino)-heptane-2,7-diyl {-(CH₂)₄-N[-CH(OH)-NH-C₆H₄-OCH₃]-} or a radical of the formula



in which the two terminal bonds of the alkylene chain are free valencies,
 or a salt thereof, with the exception of 6-(4-benzoyloxycarbonylamino-phenyl-amino)-9-ethyl-2-(2-hydroxy-ethyl-amino)-9H-purine or a salt thereof.

3. (once amended) A compound of the formula I according to claim 1, wherein
 q is 1-5,

R₁ is

α) -S(=O)_k-NR₆R₇, in which

k is 1 or 2,

wherein under the proviso that R₆ and R₇ cannot be simultaneously hydrogen

α1) R₆, R₇ can be identical or different from one another and represent an aliphatic, carbocyclic, heterocyclic, carbocyclic-aliphatic or heterocyclic-aliphatic radical; hydrogen or lower aliphatic acyl; or

α2) R₆ and R₇ together are an alkylene or alkenylene radical having from 3 up to and including 9 C atoms, in which 1-3 C atoms can be replaced by oxygen, sulfur or nitrogen, wherein said alkylene or alkenylene radical can be unsubstituted or substituted by halogen, hydroxy, lower alkoxy, amino, lower alkylamino, di-lower alkylamino, carbamoyl, lower alkylcarbamoyl or a radical -(CH₂)_y-R₁₀, in which y is 0 to 3, preferably 0 to 2, and R₁₀ is hydrogen or phenyl, which is unsubstituted or substituted by halogen, halogen lower alkyl, lower alkoxy, hydroxy, lower alkoxy-carbonyl, amino, lower alkylamino, di-lower alkylamino, carbamoyl, lower alkylcarbamoyl, carboxyl, methylenedioxy or cyano;

β) N-(aryl lower alkyl)carbamoyl, or

γ) a radical of the formula -NH-S(=O)_i-R₈, in which

i is 1 or 2,

R₈ is an aliphatic, carbocyclic or heterocyclic radical; or

δ) a radical of the formula -NH-C(=O)-R₉, in which

R₉ is alkoxy, aryloxy, alkenyl, alkynyl, heterocyclyl alkynyl, aryl alkynyl, heteroaryl alkynyl, alkynyloxy or aryl alkynyloxy, which in each case is unsubstituted or substituted, the substituents being selected from the group consisting of halogen, hydroxy, phenyl, lower alkyl, lower alkoxy, lower alkoxy-carbonyl, amino, lower alkylamino, di-lower alkylamino, carbamoyl, lower alkylcarbamoyl, carboxyl, methylenedioxy, tri-lower alkyl silyl, cyano or

lower alkyl which is substituted by halogen, wherein such an unsubstituted or substituted R_9 radical has not more than 20 C atoms;

where, if more than one radical R_1 is present in the molecule, these can be identical or different from one another,

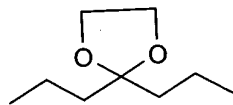
B1
a) R_4 is, in cases where R_1 is selected from α , hydrogen, amino, phenylamino, lower alkylamino, hydroxyl, phenoxy, lower alkoxy, acyl having 1-30 C atoms, a carbocyclic or carbocyclic-aliphatic radical having not more than 29 C atoms, a heterocyclic or heterocyclic-aliphatic radical having not more than 20 C atoms and not more than 9 heteroatoms, or a substituted hydrocarbon radical having not more than 29 C atoms wherein the substituents are selected from halogen, amino, lower alkylamino, ω -amino-lower alkylamino, lower alkanoylamino, benzoylamino, hydroxylamino, hydroxylimino, lower alkoxy-amino, phenyloxyamino, amino-cyclohexyl-amino-, amino-phenyl-amino-, carbamoyl-amino, (N-lower alkyl-carbamoyl)-amino, (N-[ω -amino-lower alkyl]-carbamoyl)-amino, (N-phenyl-carbamoyl)-amino, lower alkylthio, thiocarbamoyl, thioureido, N-lower alkyl-thioureido, N-phenyl-thioureido, guanidino, N-lower alkyl-guanidino, carboxyl, lower alkoxycarbonyl, phenyloxycarbonyl, benzyloxycarbonyl, hydroxylaminocarbonyl, carbamoyl, amidino, cyano, hydroxyl, lower alkoxy, phenoxy, aminocarbonyl-oxy, oxo, aminosulfonyl, lower alkylsulfonyl-amino, glycyllamino, alanylaminolamino, phenylalanylaminolamino, prolylaminolamino, valylaminolamino, leucylaminolamino, isoleucylaminolamino, serylaminolamino, threonylaminolamino, cysteinylaminolamino, methionylaminolamino, tyrosylaminolamino, tryptophanylaminolamino, arginylaminolamino, histidylaminolamino, lysylaminolamino, glutamylaminolamino, glutaminyllaminolamino, asparagylaminolamino, asparaginyllaminolamino and phenylglycylaminolamino; and

Sub C2
 R_4 is, in cases where R_1 is selected from β , γ and δ , hydrogen, amino, phenylamino, lower alkylamino, hydroxyl, phenoxy, lower alkoxy, acyl having 1-30 C atoms, a cycloaliphatic or carbocyclic-aliphatic radical having not more than 29 C atoms, a heterocyclic or heterocyclic-aliphatic radical having not more than 20 C atoms and not more than 9 heteroatoms, or a substituted hydrocarbon radical having not more than 29 C atoms wherein the substituents are selected from halogen, amino, lower alkylamino, ω -amino-lower alkylamino, lower alkanoylamino, benzoylamino, hydroxylamino, hydroxylimino, lower alkoxy-amino, phenyloxyamino, amino-cyclohexyl-amino-, amino-phenyl-amino-, carbamoyl-amino, (N-lower alkyl-carbamoyl)-amino, (N-[ω -amino-lower alkyl]-carbamoyl)-amino, (N-phenyl-carbamoyl)-amino, lower alkylthio, thiocarbamoyl, thioureido, N-lower alkyl-thioureido, N-phenyl-thioureido, guanidino, N-lower alkyl-guanidino, carboxyl, lower alkoxycarbonyl, phenyloxycarbonyl, benzyloxycarbonyl, hydroxylaminocarbonyl, carbamoyl, amidino, cyano, hydroxyl, lower alkoxy, phenoxy, aminocarbonyl-oxy, oxo, aminosulfonyl, lower alkylsulfonyl-

amino, glycyllamino, alanylaminio, phenylalanylaminio, prolylaminio, valylaminio, leucylaminio, isoleucylaminio, serylaminio, threonylaminio, cysteinyllaminio, methionylaminio, tyrosylaminio, tryptophanylaminio, arginyllaminio, histidylaminio, lysylaminio, glutamylaminio, glutaminyllaminio, asparagylaminio, asparaginyllaminio and phenylglycyllaminio; and

R_5 independently of R_4 , is as defined above for R_4 , with the exception of hydrogen, or

b) R_4 and R_5 together are 1,2-ethylene, propane-1,3-diyl, butane-1,4-diyl, pentane-1,5-diyl, 3-(3-amino-propionyl)-3-aza-pentane-1,5-diyl, 2-amino-butane-1,4-diyl, 1-aminomethyl-butane-1,4-diyl, 1-hydroxymethyl-butane-1,4-diyl, 3-hydroxy-pentane-1,5-diyl, 1-hydroxy-hexane-1,5-diyl, 3-(2-amino-ethyl)-pentane-1,5-diyl, 3-aza-pentane-1,5-diyl $(-CH_2-CH_2-NH-CH_2-CH_2-)$, 3-aza-2,4-dimethyl-pentane-1,5-diyl $(-CH_2-CH[CH_3]-NH-CH[CH_3]-CH_2-)$, 3-amino-3-aza-pentane-1,5-diyl $(-CH_2-CH_2-N[NH_2]-CH_2-CH_2-)$, 1-aza-pentane-1,5-diyl, 1-aza-1-toluylaminocarbonyl-pentane-1,5-diyl, 1-aza-1-(methylamino-thiocarbonyl)-pentane-1,5-diyl, 1-aza-1-(tert-butylamino-carbonyl)-pentane-1,5-diyl, 1-aza-1-(cyclohexylamino-carbonyl)-pentane-1,5-diyl, 3-aza-1-hydroxy-heptane-3,7-diyl, 3-aza-1-cyano-heptane-3,7-diyl, 1-amino-3-aza-heptane-3,7-diyl, 3-(2-amino-ethyl)-3-aza-pentane-1,5-diyl $(-CH_2-CH_2-N[-CH_2-CH_2-NH_2]-CH_2-CH_2-)$, 1-carbamoyl-butane-1,4-diyl, 2-formylamino-pentane-1,4-diyl, 2-aza-butadiene-1,4-diyl $(-CH=CH-N=CH-)$, 2-aza-3-hydroxymethyl-butadiene-1,4-diyl $(-CH=C[CH_2OH]-N=CH-)$, 2-Aza-1-hydroxy-1-(4-methoxy-phenyl-amino)-heptane-2,7-diyl $\{-(CH_2)_4-N[-CH(OH)-NH-C_6H_4-OCH_3]-\}$ or a radical of the formula



in which the two terminal bonds of the alkylene chain are free valencies; or

R_2 is hydrogen, carbamoyl or N-lower alkyl-carbamoyl, and

R_3 is lower alkyl, which is unsubstituted or substituted by hydroxy, lower alkoxy, amino, lower

alkylamino or N,N-di-lower alkylamino,

or a salt thereof, with the exception of 6-(4-benzyloxycarbonylamino-phenyl-amino)-9-ethyl-2-(2-hydroxy-ethyl-amino)-9H-purine or a salt thereof.

4. (once amended) A compound of the formula I according to claim 1, wherein

q is 1-3,

R_1 is

$\alpha) -S(=O)_k-NR_6R_7$, in which

k is 2,

wherein under the proviso that R_6 and R_7 cannot be simultaneously hydrogen

31
α1) R_6, R_7 can be identical or different from one another and represent an aliphatic, carbocyclic, heterocyclic, carbocyclic-aliphatic or heterocyclic-aliphatic radical; or hydrogen; or

α2) R_6 and R_7 together are an alkylene or alkenylene radical having from 3 up to and including 9 C atoms, in which 1-3 C atoms can be replaced by oxygen, sulfur or nitrogen, wherein said alkylene or alkenylene radical can be unsubstituted or substituted having in each case including the substituents not more than 20 C atoms, the substituents being selected from halogen, hydroxy, lower alkoxy, amino, lower alkylamino, di-lower alkylamino, carbamoyl, lower alkylcarbamoyl or a radical $-(CH_2)_y-$, R_{10} , in which y is 0 to 3, preferably 0 to 2, and R_{10} is hydrogen or phenyl, which is unsubstituted or substituted by halogen, halogen lower alkyl, lower alkoxy, hydroxy, lower alkoxy-carbonyl, amino, lower alkylamino, di-lower alkylamino, carbamoyl, lower alkylcarbamoyl, carboxyl, methylenedioxy or cyano, or

Sub C3
β) N-(aryl lower alkyl)carbamoyl, or

γ) a radical of the formula $-NH-S(=O)_i-R_8$, in which i is 2, and

R_8 is an aliphatic, carbocyclic or heterocyclic radical; or

δ) a radical of the formula $-NH-C(=O)-R_9$, in which

R_9 is alkoxy, aryloxy, alkynyl, heterocyclyl alkynyl, aryl alkynyl, heteroaryl alkynyl, alkynyloxy or aryl alkynyloxy, which in each case is unsubstituted or substituted, the substituents being selected from the group consisting of halogen, hydroxy, phenyl, lower alkyl, lower alkoxy, lower alkoxy-carbonyl, amino, lower alkylamino, di-lower alkylamino, carbamoyl, lower alkylcarbamoyl, carboxyl, methylenedioxy, tri-lower alkyl silyl, cyano or lower alkyl which is substituted by halogen, wherein such an unsubstituted or substituted R_9 radical has not more than 20 C atoms;

where, if more than one radical R_1 is present in the molecule, these can be identical or different from one another,

R_2 is hydrogen,

R_3 is lower alkyl,

R_4 is

hydrogen, amino, phenylamino, lower alkylamino, hydroxyl, phenoxy or lower alkoxy; an acyl radical of the part formula $Z-C(=W)-$, in which W is oxygen, sulfur or imino and Z is hydrogen, hydrocarbyl R° , hydrocarbyloxy $R^\circ-O-$ or an amino group of the formula $R_{11}(R_{12})N-$, in which R° in each case is C_1-C_4 alkyl, hydroxy- C_2-C_{14} alkyl, cyano- C_1-C_4 alkyl, carboxy- C_1-

~~C_4 alkyl, C_1 - C_4 alkoxycarbonyl- C_1 - C_4 alkyl, C_3 - C_7 alkenyl or phenyl and R_{11} and R_{12} independently of one another are each hydrogen, lower alkyl, ω -amino-lower alkyl, lower alkylsulfonyl or phenyl;~~

~~a substituted hydrocarbon radical having not more than 29 C atoms wherein the substituents are selected from halogen, amino, lower alkylamino, ω -amino-lower alkylamino, lower alkanoylamino, benzoylamino, hydroxylamino, hydroxylimino, lower alkoxy-amino, phenyloxyamino, amino-cyclohexyl-amino-, amino-phenyl-amino-, carbamoyl-amino, (N-lower alkyl-carbamoyl)-amino, (N-[ω -amino-lower alkyl]-carbamoyl)-amino, (N-phenyl-carbamoyl)-amino, lower alkylthio, thiocarbamoyl, thioureido, N-lower alkyl-thioureido, N-phenyl-thioureido, guanidino, N-lower alkyl-guanidino, carboxyl, lower alkoxycarbonyl, phenyloxycarbonyl, benzyloxycarbonyl, hydroxylaminocarbonyl, carbamoyl, amidino, cyano, hydroxyl, lower alkoxy, phenyloxy, aminocarbonyl-oxy, oxo, aminosulfonyl, lower alkylsulfonyl-amino, glycyllamino, alanyl-amino, phenylalanyl-amino, prolyl-amino, valyl-amino, leucyl-amino, isoleucyl-amino, seryl-amino, threonyl-amino, cysteinyl-amino, methionyl-amino, tyrosyl-amino, tryptophanyl-amino, arginyl-amino, histidyl-amino, lysyl-amino, glutamyl-amino, glutaminyl-amino, asparagyl-amino, asparaginyl-amino and phenylglycyl-amino;~~

~~benzyl, 2-phenyl-ethyl, 3-aminomethyl-benzyl, (1-hydroxy-cyclohex-1-yl)-methyl, (2-amino-3,5,5-trimethyl-cyclopentyl)-methyl, 1-[N-(1-carboxy-2-phenyl-ethyl)-carbamoyl]-2-carbamoyl-eth-1-yl, 1-carbamoyl-1-phenyl-methyl, 1-carbamoyl-2-(4-hydroxy-phenyl)-eth-1-yl, 1-carbamoyl-2-phenyl-eth-1-yl, 2-amino-1,2-diphenyl-eth-1-yl, 2-benzyloxycarbonyl-1-carbamoyl-eth-1-yl, 3-benzyloxycarbonyl-1-carbamoyl-prop-1-yl, 1-adamantyl-2-amino-prop-1-yl, 1-adamantyl-1-amino-prop-2-yl, (2-furyl)-methyl, (2-tetrahydrofuryl)-methyl, 2-pyrid-2-yl-ethyl, 2-piperidino-ethyl, 2-(morpholin-4-yl)-ethyl, 2-(3-indolyl)-ethyl, 2-(4-imidazolyl)-ethyl, 1-carbamoyl-2-(β -indolyl)-eth-1-yl, 1-carbamoyl-2-imidazol-4-yl-eth-1-yl, 1-carbamoyl-2-indol-3-yl-eth-1-yl, 3-aminomethyl-oxetan-3-yl-methyl, 1-(acetoxymino)-1-(4-amino-2-oxa-1,3-diazol-5-yl)-methyl,~~

~~C_4 - C_8 cycloalkyl, which is substituted by carboxy, thiocarboxy, lower alkoxycarbonyl, hydrazinocarbonyl, hydroxaminocarbonyl, amidino, sulfamoyl, sulfanyl, halogen, cyano, formyl, amino, hydroxy, lower alkoxy, lower aliphatic acyl, lower alkylamino, di-lower alkylamino, carbamoyl, lower alkylcarbamoyl, aminocarbonyloxy or ureido;~~

~~2-aminomethyl-3,3,5-trimethyl-cyclopent-1-yl, 3-amino-adamantan-1-yl, 2-carbamoyl-bicyclo[2.2.1]hept-5-en-3-yl, 9-amino-spiro[4.4]non-1-yl, 5-amino-2-oxa-1,3-diazol-4-yl, 4-amino-thien-3-yl, 3-carbamoyl-5-(3-[2,4-dichloro-phenyl]-1-oxo-prop-2-en-1-yl)-1,2-thiazol-4-yl, 3-carbamoyl-5-(3-[4-trifluoro-phenyl]-1-oxo-prop-2-en-~~

Sub C3
1-yl)-1,2-thiazol-4-yl, 4-amino-2-(4-carboxy-butyl)-tetrahydrothiophen-3-yl or 3-amino-2-(4-carboxy-butyl)-tetrahydrothiophen-4-yl, , and

R₅, independently of R₄, is as defined above for R₄, with the exception of hydrogen, or

R₄ and R₅ together are 1,2-ethylene, propane-1,3-diyl, butane-1,4-diyl, pentane-1,5-diyl, 3-(3-amino-propionyl)-3-aza-pentane-1,5-diyl, 1-aminomethyl-butane-1,4-diyl, 1-hydroxy-methyl-butane-1,4-diyl, 3-(2-amino-ethyl)-pentane-1,5-diyl, 3-aza-pentane-1,5-diyl or 3-(2-amino-ethyl)-3-aza-pentane-1,5-diyl,

or a salt thereof, with the exception of 6-(4-benzoyloxycarbonylamino-phenyl-amino)-9-ethyl-2-(2-hydroxy-ethyl-amino)-9H-purine or a salt thereof.

5. (once amended) A compound of the formula I according to claim 1, wherein

q is 1-3,

R₁ is

α) -S(=O)_k-NR₆R₇, in which

k is 2,

wherein under the proviso that R₆ and R₇ cannot be simultaneously hydrogen

α1) R₆, R₇ can be identical or different from one another and represent an aliphatic, carbocyclic, heterocyclic, carbocyclic-aliphatic or heterocyclic-aliphatic radical; or hydrogen; or

α2) R₆ and R₇ together are an alkylene or alkenylene radical having from 3 up to and including 9 C atoms, in which 1-3 C atoms can be replaced by oxygen, sulfur or nitrogen, wherein said alkylene or alkenylene radical can be unsubstituted or substituted having in each case including the substitutents not more than 20 C atoms, the substituents being selected from halogen, hydroxy, lower alkoxy, amino, lower alkylamino, di-lower alkylamino, carbamoyl, lower alkylcarbamoyl or a radical -(CH₂)_y-R₁₀, in which y is 0 to 3, preferably 0 to 2, and R₁₀ is hydrogen or phenyl, which is unsubstituted or substituted by halogen, halogen lower alkyl, lower alkoxy, hydroxy, lower alkoxy-carbonyl, amino, lower alkylamino, di-lower alkylamino, carbamoyl, lower alkylcarbamoyl, carboxyl, methylenedioxy or cyano, or

β) N-(aryl lower alkyl)carbamoyl, or

γ) a radical of the formula -NH-S(=O)_i-R₈, in which

i is 2,

R₈ is an aliphatic, carbocyclic or heterocyclic radical; or

δ) a radical of the formula -NH-C(=O)-R₉, in which

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R₉ is alkoxy, phenoxy, alkynyl or aryl alkynyl which in each case is unsubstituted or substituted, the substituents being selected from the group consisting of halogen, hydroxy, phenyl, lower alkyl, lower alkoxy, lower alkoxycarbonyl, amino, lower alkylamino, di-lower alkylamino, carbamoyl, lower alkylcarbamoyl, carboxyl, methylenedioxy, tri-lower alkyl silyl, cyano or lower alkyl which is substituted by halogen, wherein such an unsubstituted or substituted R₉ radical has not more than 20 C atoms;

where, if more than one radical R₁ is present in the molecule, these can be identical or different from one another,

R₂ is hydrogen,

R₃ is lower alkyl,

R₄ is hydrogen or C₅-C₇ cycloalkyl, which is substituted by amino, hydroxy, lower alkoxy, lower alkylamino, di-lower alkylamino, carbamoyl, lower alkylcarbamoyl, aminocarbonyloxy or ureido;

R₅, independently of R₄, is as defined above for R₄, with the exception of hydrogen, or a salt thereof.

6. (once amended) A compound of the formula I according to claim 1, wherein q is 1-2,

R₁ is -S(=O)_k-NR₆R₇, in which

k is 2,

wherein under the proviso that R₆ and R₇ cannot be simultaneously hydrogen

α1) R₆, R₇ can be identical or different from one another and represent

hydrogen;

C₁-C₁₂ alkyl which is unsubstituted or substituted by hydroxy, lower alkoxy, halogen, amino, lower alkylamino, di-lower alkylamino, unsubstituted heteroaryl having not more than 10 carbon atoms and not more than 3 heteroatoms or aryl having not more than 14 carbon atoms which is unsubstituted or substituted by halogen, lower alkyl, lower alkoxy, phenoxy, lower alkoxycarbonyl, imidazolyl, morpholinyl, pyrrolidinyl, piperidinyl, piperazinyl, amino, lower alkylamino, di-lower alkylamino, carbamoyl or lower alkyl which is substituted by

halogen;

C₃-C₁₀ cycloalkyl which is unsubstituted or substituted by hydroxy, amino, lower alkylamino, di-lower alkylamino, carbamoyl or lower alkylcarbamoyl;

unsubstituted heteroaryl having not more than 20 carbon atoms and not more than 3 heteroatoms;

B'
aryl having not more than 20 carbon atoms unsubstituted or substituted by halogen, lower alkyl, lower alkoxy, phenoxy, lower alkoxy carbonyl, imidazolyl, morpholinyl, pyrrolidinyl, piperidinyl, piperazinyl, amino, lower alkylamino, di-lower alkylamino, carbamoyl or lower alkyl which is substituted by halogen; or

α_2) R_6 and R_7 together are an alkylene or alkenylene radical having from 3 up to and including 9 C atoms, in which 1-3 C atoms can be replaced by oxygen or nitrogen, wherein said alkylene or alkenylene radical can be unsubstituted or substituted having in each case including the substituents not more than 20 C atoms, the substituents being selected from halogen, hydroxy, lower alkoxy, amino, lower alkylamino, di-lower alkylamino, carbamoyl, lower alkylcarbamoyl or a radical $-(CH_2)_y-R_{10}$, in which y is 0 to 3, preferably 0 to 2, and R_{10} is hydrogen or phenyl, which is unsubstituted or substituted by halogen, halogen lower alkyl, lower alkoxy, hydroxy, lower alkoxy carbonyl, amino, lower alkylamino, di-lower alkylamino, carbamoyl, lower alkylcarbamoyl, carboxyl, methylenedioxy or cyano; where, if more than one radical R_1 is present in the molecule, these can be identical or different from one another,

R_2 is hydrogen,

R_3 is lower alkyl,

R_4 is hydrogen or C_5-C_7 cycloalkyl, which is substituted by amino, hydroxy, lower alkoxy, lower alkylamino, di-lower alkylamino, carbamoyl, lower alkylcarbamoyl, aminocarbonyloxy or ureido;

R_5 , independently of R_4 , is as defined above for R_4 , with the exception of hydrogen, or a salt thereof.

7. (once amended) A compound of the formula I according to claim 1, wherein

β) R_1 is N-(phenyl lower alkyl)carbamoyl, wherein phenyl is unsubstituted or substituted by halogen, lower alkyl, hydroxy, lower alkoxy, phenoxy, amino, lower alkylamino, di-lower alkylamino or lower alkyl which is substituted by halogen; or

γ) R_1 is a radical of the formula $-NH-S(=O)_i-R_8$, in which

i is 2,

R_8 is

lower alkyl, lower alkyl which is substituted by halogen;

C_3-C_8 cycloalkyl, which is unsubstituted or substituted by halogen, hydroxy, lower alkoxy, amino, lower alkylamino, di-lower alkylamino or carbamoyl;

unsubstituted heteroaryl having not more than 20 carbon atoms and not more than 3 heteroatoms;

phenyl which is unsubstituted or substituted by halogen, lower alkyl, hydroxy, lower alkoxy, amino, lower alkylamino, di-lower alkylamino or lower alkyl which is substituted by halogen; or

8) R_1 is a radical of the formula $-NH-C(=O)-R_9$,

R_9 is

alkoxy, phenoxy, alkynyl, which is unsubstituted or substituted by tri(lower alkyl)silyl; heteroaryl alkynyl, wherein the heteroaryl moiety comprises one or two heteroatoms selected from the group consisting of nitrogen, sulfur and oxygen, and which radical is unsubstituted or substituted by halogen, hydroxy, lower alkyl, lower alkoxy, phenyl, amino, lower alkylamino, di-lower alkylamino or lower alkyl which is substituted by halogen; heterocyclyl alkynyl, wherein the heterocyclyl moiety comprises one or two heteroatoms selected from the group consisting of nitrogen, sulfur and oxygen, and which radical is unsubstituted or substituted by halogen, hydroxy, lower alkyl, lower alkoxy, phenyl, amino, lower alkylamino, di-lower alkylamino or lower alkyl which is substituted by halogen; or phenyl alkynyl, which is unsubstituted or substituted by halogen, hydroxy, lower alkyl, lower alkoxy, phenyl, amino, lower alkylamino, di-lower alkylamino or lower alkyl which is substituted by halogen;

where, if more than one radical R_1 is present in the molecule, these can be identical or different from one another,

R_2 is hydrogen,

R_3 is lower alkyl,

R_4 is hydrogen or C_5-C_7 cycloalkyl, which is substituted by amino, hydroxy, lower alkoxy, lower alkylamino, di-lower alkylamino, carbamoyl, lower alkylcarbamoyl, aminocarbonyloxy or ureido;

R_5 , independently of R_4 , is as defined above for R_4 , with the exception of hydrogen, or a salt thereof.

8. (once amended) A compound of the formula I according to claim 1, wherein

q is 1,

R_1 is

$\alpha) -S(=O)_k-NR_6R_7$, in which

k is 2,

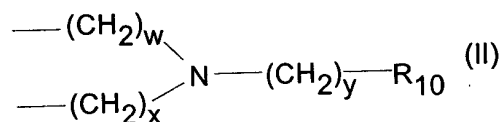
wherein under the proviso that R_6 and R_7 cannot be simultaneously hydrogen

$\alpha 1$) R_6 , R_7 can be identical or different from one another and represent hydrogen, C_1 - C_8 alkyl, hydroxy lower alkyl, phenyl unsubstituted or substituted by phenoxy, lower alkoxy, imidazolyl, lower alkyl, halogen, halogen lower alkyl, lower alkyloxycarbonyl or morpholinyl; lower alkyl substituted by phenyl, halogenphenyl, naphthyl, furanyl or pyridyl; C_3 - C_6 cycloalkyl unsubstituted or substituted by hydroxy; tetrahydronaphthyl or quinolinyl; or

$\alpha 2$) R_6 and R_7 together are an alkylene radical

$\alpha 2.1$) having from 4 up to and including 6 C atoms, in which 1 C atom can be replaced by oxygen; or

$\alpha 2.2$) a radical of the formula (II),



in which w is 2, x is 2, y is 0 or 1 and R_{10} is hydrogen or phenyl, which is unsubstituted or substituted by halogen, trifluoromethyl or lower alkoxy,

β) unsubstituted or substituted phenyl lower alkylcarbonyl, in which case phenyl can be substituted by halogen, lower alkyl, lower alkoxy or trifluoromethyl; or

γ) a radical of the formula $\text{---NH-S(=O)}_i\text{---}R_8$,

in which i is 2, and

R_8 is lower alkyl or phenyl substituted by lower alkyl or lower alkoxy; or

δ) a radical of the formula $\text{---NH-C(=O)}\text{---}R_9$, in which

R_9 is lower alkoxy, phenoxy, phenyl lower alkynyl, in which phenyl is unsubstituted or substituted by halogen, lower alkyl or lower alkoxy; lower alkynyl or tri(lower alkyl) silyl lower alkynyl,

where, if more than one radical R_1 is present in the molecule, these can be identical or different from one another,

R_2 is hydrogen,

R_3 is lower alkyl,

R_4 is hydrogen, and

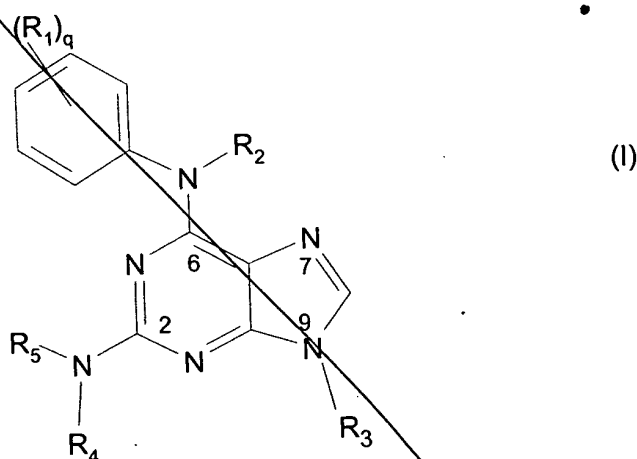
R_5 is cyclohexyl, which is substituted by amino, hydroxy or carbamoyl,
B' or a salt thereof.

B² 14. (twice amended) A pharmaceutical composition for the treatment of tumours in warm-blooded animals, including humans, comprising an antitumourally effective dose of a compound of the formula I according to claim 1 or a pharmaceutically acceptable salt of such a compound together with a pharmaceutically acceptable carrier.

B³ 17. (twice amended) A method of treating tumours in warm-blooded animals, including humans, in which an antitumourally effective dose of a compound of the formula I according to claim 1 or of a pharmaceutically acceptable salt of such a compound is administered to such a warm-blooded animal suffering from a tumour disease.

18. (twice amended) A method of treating osteoporosis in warm-blooded animals, including humans, in which a dose, which is effective against osteoporosis, of a compound of the formula I according to claim 1 or of a pharmaceutically acceptable salt of such a compound is administered to such a warm-blooded animal suffering from osteoporosis.

19. (once amended) A process for the preparation of a compound of the formula I



in which q is 1-5,

R_1 is

$\alpha) -S(=O)_k-NR_6R_7$, in which

k is 1 or 2,

wherein under the proviso that R_6 and R_7 cannot be simultaneously hydrogen

$\alpha 1$) R_6 , R_7 can be identical or different from one another and represent an aliphatic, carbocyclic, heterocyclic, carbocyclic-aliphatic or heterocyclic-aliphatic radical; hydrogen or lower aliphatic acyl; or

$\alpha 2$) R_6 and R_7 together are an alkylene or alkenylene radical having from 3 up to and including 9 C atoms, in which 1-3 C atoms can be replaced by oxygen, sulfur or nitrogen, wherein said alkylene or alkenylene radical can be unsubstituted or substituted by halogen, hydroxy, lower alkoxy, amino, lower alkylamino, di-lower alkylamino, carbamoyl, lower alkylcarbamoyl or a radical $-(CH_2)_y-R_{10}$, in which y is 0 to 3, preferably 0 to 2, and R_{10} is hydrogen or phenyl, which is unsubstituted or substituted by halogen, halogen lower alkyl, lower alkoxy, hydroxy, lower alkoxy-carbonyl, amino, lower alkylamino, di-lower alkylamino, carbamoyl, lower alkylcarbamoyl, carboxyl, methylenedioxy or cyano, or

β) N-(aryl lower alkyl)carbamoyl, or

γ) a radical of the formula $-NH-S(=O)_i-R_8$, in which

i is 1 or 2,

R_8 is an aliphatic, carbocyclic or heterocyclic radical; or

δ) a radical of the formula $-NH-C(=O)-R_9$, in which

R_9 is alkoxy, aryloxy, alkenyl, alkynyl, heterocyclyl alkynyl, aryl alkynyl, heteroaryl alkynyl, alkynyloxy or aryl alkynyloxy, which in each case is unsubstituted or substituted, the substituents being selected from the group consisting of halogen, hydroxy, phenyl, lower alkyl, lower alkoxy, lower alkoxy-carbonyl, amino, lower alkylamino, di-lower alkylamino, carbamoyl, lower alkylcarbamoyl, carboxyl, methylenedioxy, tri-lower alkyl silyl, cyano or lower alkyl which is substituted by halogen, wherein such an unsubstituted or substituted R_9 radical has not more than 20 C atoms;

where, if more than one radical R_1 is present in the molecule, these can be identical or different from one another,

R_2 is hydrogen, carbamoyl or N-lower alkyl-carbamoyl,

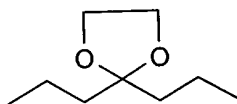
R_3 is lower alkyl, which is unsubstituted or substituted by hydroxy, lower alkoxy, amino, lower alkylamino or N,N-di-lower alkylamino and

a) R_4 is hydrogen, amino, phenylamino, lower alkylamino, hydroxyl, phenoxy, lower alkoxy, acyl having 1-30 C atoms, a carbocyclic or carbocyclic-aliphatic radical having not more than 29 C atoms, a heterocyclic or heterocyclic-aliphatic radical having not more than 20 C atoms and not more than 9 heteroatoms, or a substituted hydrocarbon radical having not more than 29 C

atoms wherein the substituents are selected from halogen, amino, lower alkylamino, ω -amino, lower alkylamino, lower alkanoylamino, benzoylamino, hydroxylamino, hydroxylimino, lower alkoxy-amino, phenyloxyamino, amino-cyclohexyl-amino-, amino-phenyl-amino-, carbamoyl-amino, (N-lower alkyl-carbamoyl)-amino, (N-[ω -amino-lower alkyl]-carbamoyl)-amino, (N-phenyl-carbamoyl)-amino, lower alkylthio, thiocarbamoyl, thioureido, N-lower alkyl-thioureido, N-phenyl-thioureido, guanidino, N-lower alkyl-guanidino, carboxyl, lower alkoxy-carbonyl, phenyloxycarbonyl, benzyloxycarbonyl, hydroxylaminocarbonyl, carbamoyl, amidino, cyano, hydroxyl, lower alkoxy, phenyloxy, aminocarbonyl-oxy, oxo, aminosulfonyl, lower alkylsulfonyl-amino, glycyllamino, alanyllamino, phenylalanyllamino, prolyllamino, valyllamino, leucyllamino, isoleucyllamino, seryllamino, threonyllamino, cysteinyllamino, methionyllamino, tyrosyllamino, tryptophanyllamino, arginyllamino, histidyllamino, lysylyllamino, glutamylamino, glutaminyllamino, asparagylamino, asparaginyllamino and phenylglycyllamino; and

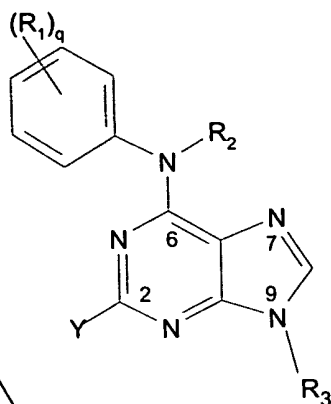
R₅, independently of R₄, is as defined above for R₄, with the exception of hydrogen, or

- b) R₄ and R₅ together are 1,2-ethylene, propane-1,3-diyl, butane-1,4-diyl, pentane-1,5-diyl, 3-(3-amino-propionyl)-3-aza-pentane-1,5-diyl, 2-amino-butane-1,4-diyl, 1-aminomethyl-butane-1,4-diyl, 1-hydroxymethyl-butane-1,4-diyl, 3-hydroxy-pentane-1,5-diyl, 1-hydroxy-hexane-1,5-diyl, 3-(2-amino-ethyl)-pentane-1,5-diyl, 3-aza-pentane-1,5-diyl (-CH₂-CH₂-NH-CH₂-CH₂-), 3-aza-2,4-dimethyl-pentane-1,5-diyl (-CH₂-CH[CH₃]-NH-CH[CH₃]-CH₂-), 3-amino-3-aza-pentane-1,5-diyl (-CH₂-CH₂-N[NH₂]-CH₂-CH₂-), 1-aza-pentane-1,5-diyl, 1-aza-1-toluyllaminocarbonyl-pentane-1,5-diyl, 1-aza-1-(methylamino-thiocarbonyl)-pentane-1,5-diyl, 1-aza-1-(tert-butylamino-carbonyl)-pentane-1,5-diyl, 1-aza-1-(cyclohexylamino-carbonyl)-pentane-1,5-diyl, 3-aza-1-hydroxy-heptane-3,7-diyl, 3-aza-1-cyano-heptane-3,7-diyl, 1-amino-3-aza-heptane-3,7-diyl, 3-(2-amino-ethyl)-3-aza-pentane-1,5-diyl (-CH₂-CH₂-N[-CH₂-CH₂-NH₂]-CH₂-CH₂-), 1-carbamoyl-butane-1,4-diyl, 2-formylamino-pentane-1,4-diyl, 2-aza-butadiene-1,4-diyl (-CH=CH-N=CH-), 2-aza-3-hydroxymethyl-butadiene-1,4-diyl (-CH=C[CH₂OH]-N=CH-), 2-Aza-1-hydroxy-1-(4-methoxy-phenyl-amino)-heptane-2,7-diyl {-(CH₂)₄-N[-CH(OH)-NH-C₆H₄-OCH₃]-} or a radical of the formula

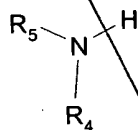


in which the two terminal bonds of the alkylene chain are free valencies, or a salt thereof, with the exception of 6-(4-benzyloxycarbonylamino-phenyl-amino)-9-ethyl-2-(2-hydroxy-ethyl-amino)-9H-purine or a salt thereof, which comprises

a) for the manufacture of a compound of formula I, wherein R₁ is -SO_kNR₆R₇, reacting a compound of the formula III

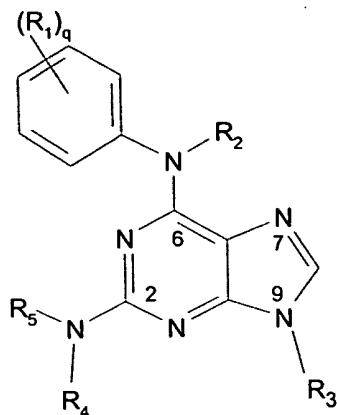


in which Y is a suitable leaving group, R_1 is $-SO_kNR_6R_7$ and the other substituents and symbols are as defined above for compounds of the formula I, free functional groups present in this compound, if necessary, being protected by easily detachable protective groups, with an amine of the formula IV



in which the substituents are as defined above for compounds of the formula I, free functional groups present in this compound, if necessary, being protected by easily detachable protective groups, and detaching the protective groups present and, if necessary, converting functional groups into the final form according to formula I, or

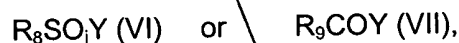
b) for the manufacture of a compound of formula I, wherein R_1 is N-(aryl lower alkyl) carbamoyl, reacting a compound of the formula V



(V),

in which R_1 is $-CO_2H$ and the other substituents and symbols are as defined above for compounds of the formula I, free functional groups present in this compound, if necessary, being protected by easily detachable protective groups, with an aryl lower alkyl amine, free functional groups present in the aryl moiety, if necessary, being protected by easily detachable protective groups, and detaching the protective groups present, or

c) for the manufacture of a compound of formula I, wherein R_1 is a radical of the formula $-NH-S(=O)_i-R_8$ or of the formula $-NH-C(=O)-R_9$, reacting a compound of the formula V in which R_1 is $-NH_2$ and the other substituents and symbols are as defined above for compounds of the formula I, free functional groups present in this compound, if necessary, being protected by easily detachable protective groups, with a compound of the formula VI or VII,

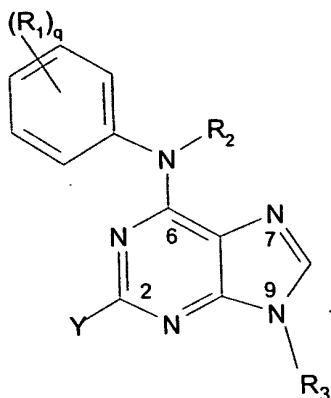


in which Y is a suitable leaving group and

R_8 and R_9 are as defined above for compounds of the formula I, free functional groups present in R_8 or R_9 , if necessary, being protected by easily detachable protective groups, and detaching the protective groups present,

and, after carrying out process a), b) or c), if necessary for the preparation of a salt, converting a resulting free compound of the formula I into a salt or, if necessary for preparation of a free compound, converting a resulting salt of a compound of the formula I into the free compound.

20. (once amended) A compound of the formula III



(III),

in which

q is 1-5

Y is a suitable leaving group,

R₁ is -SO₂NR₆R₇

R₂ is hydrogen, carbamoyl or N-lower alkyl-carbamoyl,

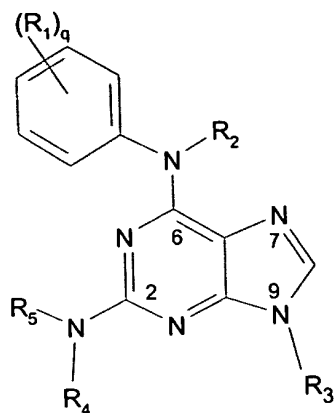
R₃ is lower alkyl, which is unsubstituted or substituted by hydroxy, lower alkoxy, amino, lower alkylamino or N,N-di-lower alkylamino;

R₆, R₇ can be identical or different from one another and represent an aliphatic, carbocyclic, heterocyclic, carbocyclic-aliphatic or heterocyclic-aliphatic radical; hydrogen or lower aliphatic acyl; or

R₆ and R₇ together are an alkylene or alkenylene radical having from 3 up to and including 9 C atoms, in which 1-3 C atoms can be replaced by oxygen, sulfur or nitrogen, wherein said alkylene or alkenylene radical can be unsubstituted or substituted by halogen, hydroxy, lower alkoxy, amino, lower alkylamino, di-lower alkylamino, carbamoyl, lower alkylcarbamoyl or a radical -(CH₂)_y-R₁₀, in which y is 0 to 3, preferably 0 to 2, and R₁₀ is hydrogen or phenyl, which is unsubstituted or substituted by halogen, halogen lower alkyl, lower alkoxy, hydroxy, lower alkoxy-carbonyl, amino, lower alkylamino, di-lower alkylamino, carbamoyl, lower alkylcarbamoyl, carboxyl, methylenedioxy or cyano,

it being possible for free functional groups present therein to be protected by easily detachable protective groups, or a salt thereof.

21. (once amended) A compound of the formula V



in which

q is 1-5

R₁ is CO₂H

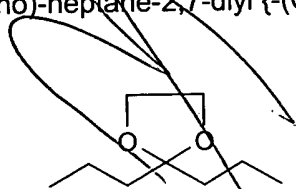
R₂ is hydrogen, carbamoyl or N-lower alkyl-carbamoyl,

R₃ is lower alkyl, which is unsubstituted or substituted by hydroxy, lower alkoxy, amino, lower alkylamino or N,N-di-lower alkylamino;

R₄ is hydrogen, amino, phenylamino, lower alkylamino, hydroxyl, phenoxy, lower alkoxy, acyl having 1-30 C atoms, a carbocyclic or carbocyclic-aliphatic radical having not more than 29 C atoms, a heterocyclic or heterocyclic-aliphatic radical having not more than 20 C atoms and not more than 9 heteroatoms, or a substituted hydrocarbon radical having not more than 29 C atoms wherein the substituents are selected from halogen, amino, lower alkylamino, ω-amino-lower alkylamino, lower alkanoylamino, benzoylamino, hydroxylamino, hydroxylimino, lower alkoxy-amino, phenyloxyamino, amino-cyclohexyl-amino-, amino-phenyl-amino-, carbamoyl-amino, (N-lower alkyl-carbamoyl)-amino, (N-[ω-amino-lower alkyl]-carbamoyl)-amino, (N-phenyl-carbamoyl)-amino, lower alkylthio, thiocarbamoyl, thioureido, N-lower alkyl-thioureido, N-phenyl-thioureido, guanidino, N-lower alkyl-guanidino, carboxyl, lower alkoxy-carbonyl, phenyloxycarbonyl, benzyloxycarbonyl, hydroxylaminocarbonyl, carbamoyl, amidino, cyano, hydroxyl, lower alkoxy, phenyloxy, aminocarbonyl-oxy, oxo, aminosulfonyl, lower alkylsulfonyl-amino, glycylamino, alanyl-amino, phenylalanyl-amino, prolyl-amino, valyl-amino, leucyl-amino, isoleucyl-amino, seryl-amino, threonyl-amino, cysteiny-l-amino, methionyl-amino, tyrosyl-amino, tryptophanyl-amino, arginyl-amino, histidyl-amino, lysyl-amino, glutamyl-amino, glutaminyl-amino, asparagyl-amino, asparaginy-l-amino and phenylglycyl-amino; and

R₅, independently of R₄, is as defined above for R₄, with the exception of hydrogen, or

R_4 and R_5 together are 1,2-ethylene, propane-1,3-diyl, butane-1,4-diyl, pentane-1,5-diyl, 3-(3-amino-propionyl)-3-aza-pentane-1,5-diyl, 2-amino-butane-1,4-diyl, 1-aminomethyl-butane-1,4-diyl, 1-hydroxymethyl-butane-1,4-diyl, 3-hydroxy-pentane-1,5-diyl, 1-hydroxy-hexane-1,5-diyl, 3-(2-amino-ethyl)-pentane-1,5-diyl, 3-aza-pentane-1,5-diyl $(-\text{CH}_2-\text{CH}_2-\text{NH}-\text{CH}_2-\text{CH}_2-)$, 3-aza-2,4-dimethyl-pentane-1,5-diyl $(-\text{CH}_2-\text{CH}[\text{CH}_3]-\text{NH}-\text{CH}[\text{CH}_3]-\text{CH}_2-)$, 3-amino-3-aza-pentane-1,5-diyl $(-\text{CH}_2-\text{CH}_2-\text{N}[\text{NH}_2]-\text{CH}_2-\text{CH}_2-)$, 1-aza-pentane-1,5-diyl, 1-aza-1-toluylaminocarbonyl-pentane-1,5-diyl, 1-aza-1-(methylamino-thiocarbonyl)-pentane-1,5-diyl, 1-aza-1-(tert-butylamino-carbonyl)-pentane-1,5-diyl, 1-aza-1-(cyclohexylamino-carbonyl)-pentane-1,5-diyl, 3-aza-1-hydroxy-heptane-3,7-diyl, 3-aza-1-cyano-heptane-3,7-diyl, 1-amino-3-aza-heptane-3,7-diyl, 3-(2-amino-ethyl)-3-aza-pentane-1,5-diyl $(-\text{CH}_2-\text{CH}_2-\text{N}[-\text{CH}_2-\text{CH}_2-\text{NH}_2]-\text{CH}_2-\text{CH}_2-)$, 1-carbamoyl-butane-1,4-diyl, 2-formylamino-pentane-1,4-diyl, 2-aza-butadiene-1,4-diyl $(-\text{CH}=\text{CH}-\text{N}=\text{CH}-)$, 2-aza-3-hydroxymethyl-butadiene-1,4-diyl $(-\text{CH}=\text{C}[\text{CH}_2\text{OH}]-\text{N}=\text{CH}-)$, 2-Aza-1-hydroxy-1-(4-methoxy-phenyl-amino)-heptane-2,7-diyl $\{-(\text{CH}_2)_4-\text{N}[-\text{CH}(\text{OH})-\text{NH}-\text{C}_6\text{H}_4-\text{OCH}_3]-\}$ or a radical of the formula



in which the two terminal bonds of the alkylene chain are free valencies, and free functional groups present therein being protected, if necessary, by easily detachable protective groups, or a salt thereof.

Add new claim 22 as follows:

--22. (newly added) A compound of the formula I according to claim 1, wherein

q is 1-5,

R_1 is

$\alpha) -\text{S}(=\text{O})_k-\text{NR}_6\text{R}_7$, in which

k is 1 or 2,

wherein under the proviso that R_6 and R_7 cannot be simultaneously hydrogen

$\alpha 1)$ R_6 , R_7 can be identical or different from one another and represent an aliphatic, carbocyclic, heterocyclic, carbocyclic-aliphatic or heterocyclic-aliphatic radical; hydrogen or lower aliphatic acyl; or

$\alpha 2)$ R_6 and R_7 together are an alkylene or alkenylene radical having from 3 up to and including 9 C atoms, in which 1-3 C atoms can be replaced by oxygen, sulfur or

nitrogen, wherein said alkylene or alkenylene radical can be unsubstituted or substituted by halogen, hydroxy, lower alkoxy, amino, lower alkylamino, di-lower alkylamino, carbamoyl, lower alkylcarbamoyl or a radical $-(CH_2)_y-R_{10}$, in which y is 0 to 3, preferably 0 to 2, and R_{10} is hydrogen or phenyl, which is unsubstituted or substituted by halogen, halogen lower alkyl, lower alkoxy, hydroxy, lower alkoxycarbonyl, amino, lower alkylamino, di-lower alkylamino, carbamoyl, lower alkylcarbamoyl, carboxyl, methylenedioxy or cyano, or

β) N-(aryl lower alkyl)carbamoyl, or

γ) a radical of the formula $-NH-S(=O)_i-R_8$, in which i is 1 or 2,

R_8 is an aliphatic, carbocyclic or heterocyclic radical; or

δ) a radical of the formula $-NH-C(=O)-R_9$, in which

R_9 is alkoxy, aryloxy, alkenyl, alkynyl, heterocyclyl alkynyl, aryl alkynyl, heteroaryl alkynyl, alkynyloxy or aryl alkynyloxy, which in each case is unsubstituted or substituted, the substituents being selected from the group consisting of halogen, hydroxy, phenyl, lower alkyl, lower alkoxy, lower alkoxycarbonyl, amino, lower alkylamino, di-lower alkylamino, carbamoyl, lower alkylcarbamoyl, carboxyl, methylenedioxy, tri-lower alkyl silyl, cyano or lower alkyl which is substituted by halogen, wherein such an unsubstituted or substituted R_9 radical has not more than 20 C atoms;

where, if more than one radical R_1 is present in the molecule, these can be identical or different from one another,

R_2 is hydrogen, carbamoyl or N-lower alkyl-carbamoyl,

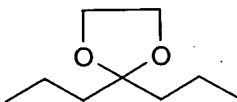
R_3 is lower alkyl, which is unsubstituted or substituted by hydroxy, lower alkoxy, amino, lower alkylamino or N,N-di-lower alkylamino and

a) R_4 is hydrogen, amino, phenylamino, lower alkylamino, hydroxyl, phenoxy, lower alkoxy, acyl having 1-30 C atoms, a carbocyclic or carbocyclic-aliphatic radical having not more than 29 C atoms, a heterocyclic or heterocyclic-aliphatic radical having not more than 20 C atoms and not more than 9 heteroatoms, or a substituted hydrocarbon radical having not more than 29 C atoms wherein the substituents are selected from halogen, amino, lower alkylamino, ω-amino-lower alkylamino, lower alkanoylamino, benzoylamino, hydroxylamino, hydroxylimino, lower alkoxy-amino, phenyloxyamino, amino-cyclohexyl-amino-, amino-phenyl-amino-, carbamoyl-amino, (N-lower alkyl-carbamoyl)-amino, (N-[ω-amino-lower alkyl]-carbamoyl)-amino, (N-phenyl-carbamoyl)-amino, lower alkylthio, thiocarbamoyl, thioureido, N-lower alkyl-thioureido, N-phenyl-thioureido, guanidino, N-lower alkyl-guanidino, carboxyl, lower alkoxycarbonyl,

phenyloxycarbonyl, benzyloxycarbonyl, hydroxylaminocarbonyl, carbamoyl, amidino, cyano, lower alkoxy, phenyloxy, aminocarbonyl-oxy, oxo, aminosulfonyl, lower alkylsulfonyl-amino, glycyllamino, alanyl-amino, phenylalanyl-amino, prolyl-amino, valyl-amino, leucyl-amino, isoleucyl-amino, seryl-amino, threonyl-amino, cysteinyl-amino, methionyl-amino, tyrosyl-amino, tryptophanyl-amino, arginyl-amino, histidyl-amino, lysyl-amino, glutamyl-amino, glutaminyl-amino, asparagyl-amino, asparaginyl-amino and phenylglycyl-amino; and

R₅, independently of R₄, is as defined above for R₄, with the exception of hydrogen, or

b) R₄ and R₅ together are 1,2-ethylene, propane-1,3-diyl, butane-1,4-diyl, pentane-1,5-diyl, 3-(3-amino-propionyl)-3-aza-pentane-1,5-diyl, 2-amino-butane-1,4-diyl, 1-aminomethyl-butane-1,4-diyl, 1-hydroxymethyl-butane-1,4-diyl, 3-hydroxy-pentane-1,5-diyl, 1-hydroxy-hexane-1,5-diyl, 3-(2-amino-ethyl)-pentane-1,5-diyl, 3-aza-pentane-1,5-diyl (-CH₂-CH₂-NH-CH₂-CH₂-), 3-aza-2,4-dimethyl-pentane-1,5-diyl (-CH₂-CH[CH₃]-NH-CH[CH₃]-CH₂-), 3-amino-3-aza-pentane-1,5-diyl (-CH₂-CH₂-N[NH₂]-CH₂-CH₂-), 1-aza-pentane-1,5-diyl, 1-aza-1-toluyaminocarbonyl-pentane-1,5-diyl, 1-aza-1-(methylamino-thiocarbonyl)-pentane-1,5-diyl, 1-aza-1-(tert-butylamino-carbonyl)-pentane-1,5-diyl, 1-aza-1-(cyclohexylamino-carbonyl)-pentane-1,5-diyl, 3-aza-1-hydroxy-heptane-3,7-diyl, 3-aza-1-cyano-heptane-3,7-diyl, 1-amino-3-aza-heptane-3,7-diyl, 3-(2-amino-ethyl)-3-aza-pentane-1,5-diyl (-CH₂-CH₂-N[-CH₂-CH₂-NH₂]-CH₂-CH₂-), 1-carbamoyl-butane-1,4-diyl, 2-formylamino-pentane-1,4-diyl, 2-aza-butadiene-1,4-diyl (-CH=CH-N=CH-), 2-aza-3-hydroxymethyl-butadiene-1,4-diyl (-CH=C[CH₂OH]-N=CH-), 2-Aza-1-hydroxy-1-(4-methoxy-phenyl-amino)-heptane-2,7-diyl {-(CH₂)₄-N[-CH(OH)-NH-C₆H₄-OCH₃]-} or a radical of the formula



in which the two terminal bonds of the alkylene chain are free valencies,
or a salt thereof.--

STATUS OF THE CLAIMS

Claims 1-12, 14 and 17-22 will be pending in this application upon entry of this amendment.

Claims 1-4, 12, 17 and 18 were rejected under 35 USC 102(b) over WO 97/16452.

Claims 5 and 21 were rejected under 35 USC 103(a) over WO 97/16452.